

wherein

X is -O-, -S-, -NH-, [-N(R₂)] or -N(R₂);

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-C₁₀)cycloalkyl, aroyl, (C₂-C₁₁)alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy when p is 2 and X is -O-;

[R₁ is R₂₀, R₂₁ or R₂₂, wherein:

R₂₀ is -(CH₂)_n- where] n is 2, 3, 4, or 5;

[R₂₁ is

-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-,

-CH₂-CH=CH-CH₂-CH₂-,

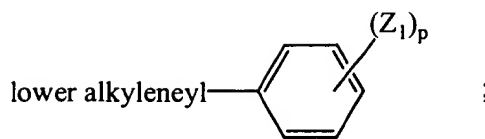
-CH₂-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-CH₂-, or

-CH₂-CH₂-C≡C-CH₂-,

the -CH=CH- bond being cis or trans;

R₂₂ is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₁ are substituted by at least one C₁-C₆ linear alkyl group, phenyl group, or

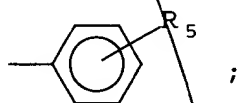


where Z_1 is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen, p is as previously defined;]

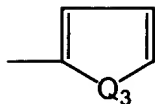
R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,] -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, or -CH(OR₇)-alkyl[,]; [-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;]

alkyl is lower alkyl;

aryl is phenyl or



where R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;
heteroaryl is



Q₃ is -O-, -S-, -NH-, or -CH=N-;

[W is CH₂ or CHR₈ or N-R₉];

R₇ is hydrogen, lower alkyl, or [alkanoyl] acyl;

[R₈ is lower alkyl;

R₉ is hydroxy, alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above;] and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

[C₁=1-4 C₄] C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy,

or -COOR₂₃ where R₂₃ is C₁-C₄ alkyl;

with the exclusion of compounds wherein X is -S-, [R₁ is R₂₀,] R is H, and m=1;

[all geometric, optical, and stereoisomers thereof,] or a pharmaceutically acceptable acid

addition salt thereof.

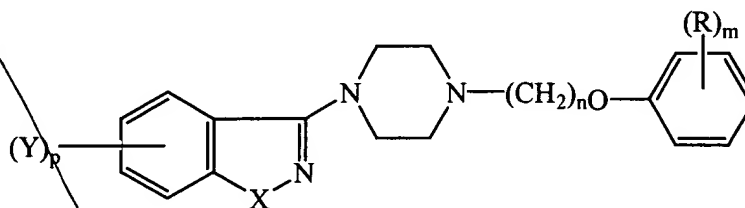
9. (Amended) A compound as claimed in claim 1, wherein X is -O-, S-, or -NH-;

Y is H, Cl, F, -CF₃; R is selected from the group consisting of hydrogen, C₁-C₃ alkyl, C₁-C₃

alkoxy, -OH, Cl, F, Br, I, [acyl,] C₁-C₃ monoalkylamino, acylamino, [-NO₂-] -NO₂, -OCF₃, -

CF₃; and n is 2, 3, or 4.

25 (Twice Amended) A compound of the formula:



wherein

X is -O-, -S-, -NH-, [-N-R₂] or -N(R₂);

p is 1 or 2;

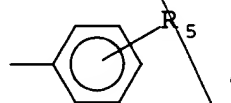
Y is hydrogen, Cl, Br, or F when p is 1;

Y is lower alkoxy[or halogen] when p is 2 and X is -O-;

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-

C₁₀)cycloalkyl, aroyl, (C₂-C₁₁)alkanoyl, and phenylsulfonyl groups;

aryl is phenyl or



where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine,

iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano,

trifluoromethyl, or trifluoromethoxy;

n is 2, 3, or 4;

B4
Sub
C3

R is hydrogen, C₁-C₃ alkyl, C₁-C₃ alkoxy, hydroxyl, [acyl, (C₂-C₁₁) alkanoyl,] Cl, F, Br,

I, amino, C₁-C₃ mono- or dialkylamino, acylamino, -NO₂, -OCF₃, -CF₃,

-C(=O)-alkyl, or -CH(OR₇)-alkyl;

alkyl is lower alkyl;

R₇ is hydrogen, lower alkyl, or acyl; and

m is 1, 2, or 3;

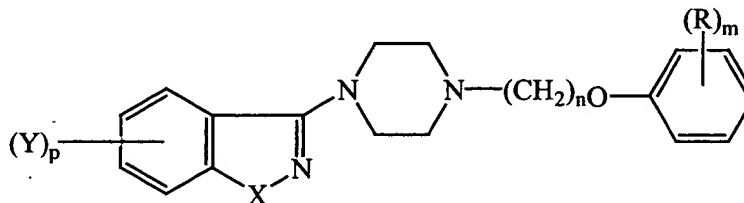
with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

C₁-C₃ alkyl, chlorine, fluorine, bromine, iodine, or C₁-C₃ alkoxy;

with the exclusion of compounds wherein X is -S-, R is H, and m=1;

or a pharmaceutically acceptable acid addition salt thereof.

26. (Twice Amended) A compound of the formula:



wherein X is -O-;

p is 1 or 2;

Y is hydrogen, hydroxy, Cl, Br, or F, when p is 1;

Y is lower alkoxy[, hydroxy, or halogen] when p is 2;

n is 2, 3, or 4;

R is hydrogen, C₁-C₃ alkyl, C₁-C₃ alkoxy, hydroxyl, [acyl, (C₂-C₁₁) alkanoyl,] Cl, F, Br,

I, amino, C₁-C₃ mono- or dialkylamino, acylamino, -NO₂, -OCF₃, -CF₃,

-C(=O)-alkyl, or -CH(OR₇)-alkyl[,];

alkyl is lower alkyl;

R₇ is hydrogen, lower alkyl, or acyl; and

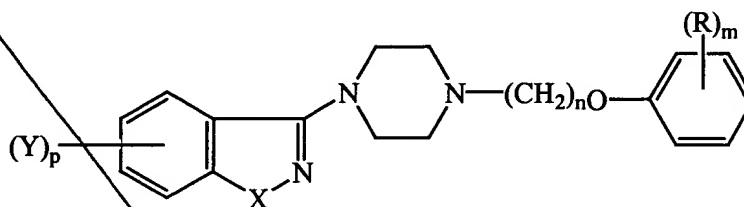
m is 1, 2, or 3;

with the exclusion of compounds wherein Y is hydrogen, and R is hydrogen, C₁-C₃ alkyl,

chlorine, fluorine, bromine, iodine, or C₁-C₃ alkoxy;

or a pharmaceutically acceptable acid addition salt thereof.

27. (Twice Amended) A compound of the formula:



wherein X is -S-;

p is 1 [or 2];

Y is hydrogen, Cl, Br, or F[, when p is 1];

[Y is lower alkoxy or halogen when p is 2;]

n is 2, 3, or 4;

R is hydrogen, C₁-C₃ alkyl, C₁-C₃ alkoxy, hydroxyl, [acyl, (C₂-C₁₁) alkanoyl,] Cl, F, Br,

I, amino, C₁-C₃ mono- or dialkylamino, acylamino, -NO₂, -OCF₃, -CF₃,

-C(=O)-alkyl, or -CH(OR₇)-alkyl[,];

alkyl is lower alkyl;

R₇ is hydrogen, lower alkyl, or acyl; and

Sub
C4

BA

m is 1, 2, or 3;

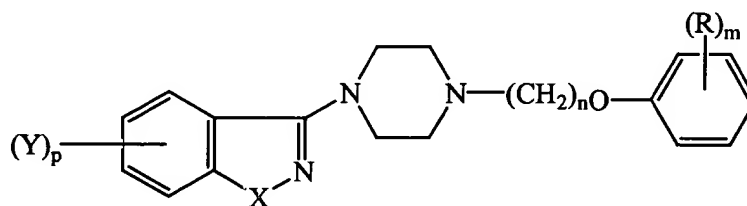
with the exclusion of compounds wherein Y is hydrogen, and R is hydrogen, C₁-C₃ alkyl,

chlorine, fluorine, bromine, iodine, C₁-C₃ alkoxy;

with the exclusion of compounds wherein R is H, and m=1;

or a pharmaceutically acceptable acid addition salt thereof.

28. (Twice Amended) A compound of the formula:



wherein X is -NH-;

p is 1 [or 2];

Y is hydrogen, Cl, Br, or F[, when p is 1];

[Y is lower alkoxy or halogen when p is 2;]

n is 2, 3, or 4;

R is hydrogen, C₁-C₃ alkyl, C₁-C₃ alkoxy, hydroxyl, [acyl, (C₂-C₁₁) alkanoyl,] Cl, F, Br,

I, amino, C₁-C₃ mono- or dialkylamino, acylamino, -NO₂, -OCF₃, -CF₃,

-C(=O)-alkyl, or -CH(OR₇)-alkyl[.];

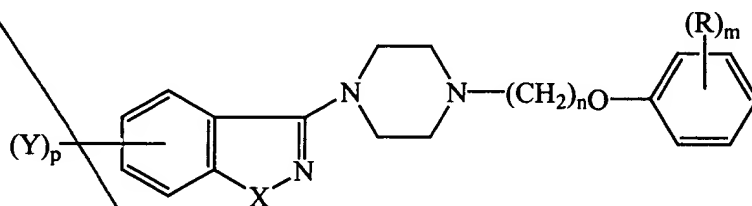
alkyl is lower alkyl;

B⁴
R₇ is hydrogen, lower alkyl, or acyl; and

m is 1, 2, or 3;

or a pharmaceutically acceptable acid addition salt thereof.

29. (Twice Amended) A compound of the formula:



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wherein X is -N-R₂;

p is 1 [or 2];

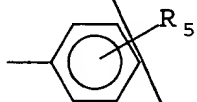
Y is hydrogen, Cl, Br, or F, when p is 1];

[Y is lower alkoxy or halogen when p is 2;]

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-

C₁₀)cycloalkyl, aroyl, (C₂-C₁₁) [aroyl,] alkanoyl, and phenylsulfonyl groups;

aryl is phenyl or



where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine,

iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano,

trifluoromethyl, or trifluoromethoxy;

n is 2, 3, or 4;

54
Sub
CS

R is hydrogen, C₁-C₃ alkyl, C₁-C₃ alkoxy, hydroxyl, [acyl, (C₂-C₁₁) alkanoyl,] Cl, F, Br,

I, amino, C₁-C₃ mono- or dialkylamino, acylamino, -NO₂, -OCF₃, -CF₃,

-C(=O)-alkyl, or -CH(OR₇)-alkyl[,];

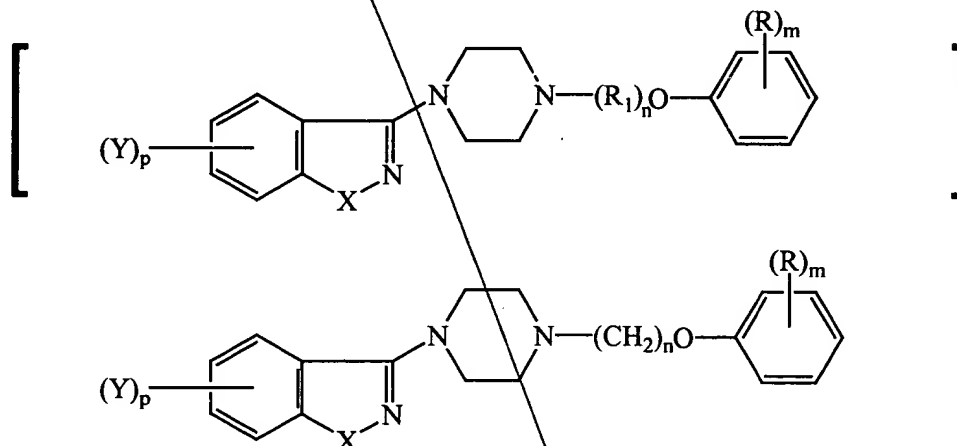
alkyl is lower alkyl;

R₇ is hydrogen, lower alkyl, or acyl; and

m is 1, 2, or 3;

or a pharmaceutically acceptable acid addition salt thereof.

30. (Twice Amended) A pharmaceutical composition, which comprises a compound of the formula:



wherein

X is -O-, -S-, -NH-, or -N(R₂);

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-

C₁₀)cycloalkyl, aroyl, (C₂-C₁₁)alkanoyl, and phenylsulfonyl groups;

where aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy when p is 2 and X is -O-;

[R₁ is R₂₀, R₂₁ or R₂₂, wherein:

R₂₀ is $-(CH_2)_n-$ where n is 2, 3, 4, or 5;

[R₂₁ is

$-CH_2-C=CH-CH_2-$,

$-CH_2-C \equiv C-CH_2-$,

$-CH_2-CH=CH-CH_2-CH_2-$,

$-CH_2-CH_2-CH=CH-CH_2-$,

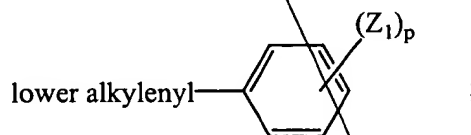
$-CH_2-C \equiv C-CH_2-CH_2-$, or

$-CH_2-CH_2-C \equiv C-CH_2-$,

the $-CH=CH-$ bond being cis or trans;

R₂₂ is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₁ are substituted

by at least one C₁-C₆ linear alkyl group, phenyl group, or



where Z₁ is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen, and p is

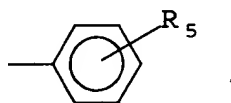
as previously defined;]

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine,

bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio,
 trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,
 aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,]
 -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, or
 -CH(OR₇)-alkyl], [-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;]

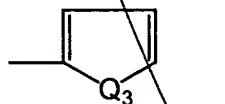
alkyl is lower alkyl;

aryl is phenyl or



where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine,
 iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano,
 trifluoromethyl, or trifluoromethoxy;

heteroaryl is



Q₃ is -O-, -S-, -NH-, or -CH=N-;

[W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or [(C₂-C₁₁) alkanoyl] acyl;

[R₈ is lower alkyl;

~~R₉ is hydroxy, alkoxy, or -NHR₁₀; and~~

~~R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,~~

~~-C(=O)-aryl or -C(=O)-heteroaryl,~~

~~where aryl and heteroaryl are as defined above;] and~~

~~m is 1, 2, or 3;~~

~~with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,~~

~~C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃~~

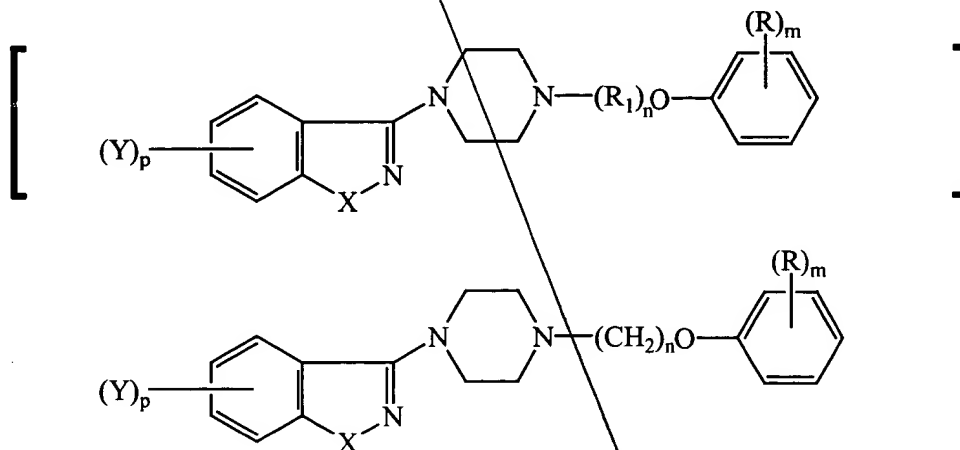
~~where R₂₃ is C₁-C₄ alkyl;~~

~~with the exclusion of compounds wherein X is -S-, [R₁ is R₂₀,] R is H, and m=1;~~

~~[all geometric, optical, and stereoisomers thereof,] or a pharmaceutically acceptable acid~~

~~addition salt thereof, and a pharmaceutically acceptable carrier therefor.~~

31. (Twice Amended) An antipsychotic composition, which comprises a compound of the formula:



wherein

X is -O-, -S-, -NH-, or -N(R₂);

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-C₁₀)cycloalkyl, aroyl, (C₂-C₁₁)alkanoyl, and phenylsulfonyl groups;

where aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy when p is 2 and X is -O-;

[R₁ is R₂₀, R₂₁ or R₂₂, wherein:

R₂₀ is -(CH₂)_n- where n is 2, 3, 4, or 5;

[R₂₁ is

-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-,

-CH₂-CH=CH-CH₂-CH₂-,

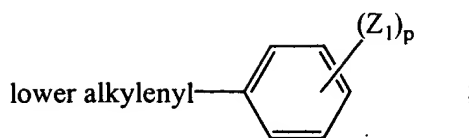
-CH₂-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-CH₂-, or

-CH₂-CH₂-C≡C-CH₂-,

the -CH=CH- bond being cis or trans;

R₂₂ is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₁ are substituted by at least on C₁-C₆ linear alkyl group, phenyl group, or

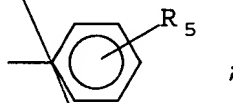


where Z_1 is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen, a p is as previously defined;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,] -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, or -CH(OR₇)-alkyl[,]; [-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;]

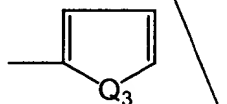
alkyl is lower alkyl;

aryl is phenyl or



where R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



Q_3 is -O-, -S-, -NH-, or -CH=N-;

BA
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CS

[W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or [(C₂-C₁₁) alkanoyl] acyl;

[R₈ is lower alkyl;

R₉ is hydroxy, alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above;] and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃

where R₂₃ is C₁-C₄ alkyl;

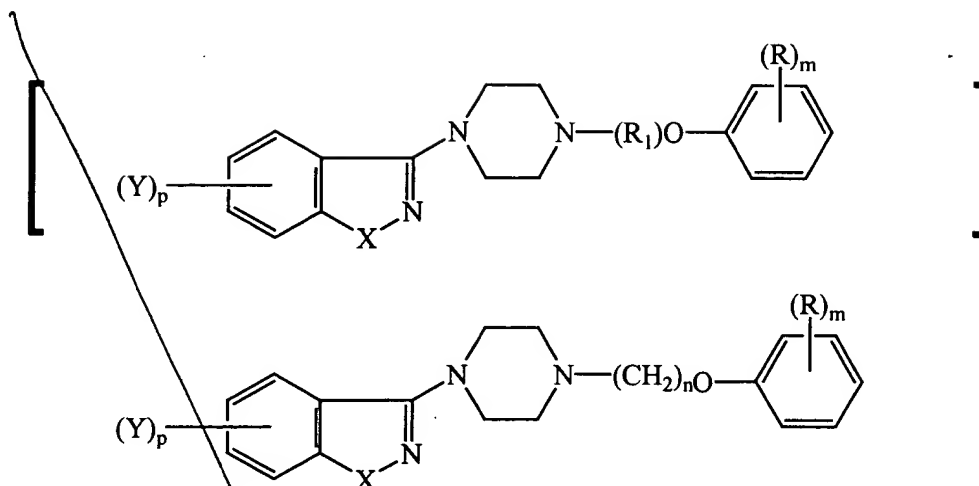
with the exclusion of compounds wherein X is -S-, [R₁ is R₂₀,] R is H, and m=1;

[all geometric, optical, and stereoisomers thereof,] or a pharmaceutically acceptable acid

addition salt thereof, in an amount sufficient to produce an antipsychotic effect,

and a pharmaceutically acceptable carrier therefor.

32. (Twice Amended) A method of treating psychoses, which comprises administering to a mammal a psychoses-treating effective amount of a compound of the formula:



wherein

X is -O-, -S-, -NH-, or -N(R₂);

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-C₁₀)cycloalkyl, aroyl, (C₂-C₁₁)alkanoyl, and phenylsulfonyl groups;

where aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy when p is 2 and X is -O-;

[R₁ is R₂₀, R₂₁ or R₂₂, wherein:

R₂₀ is -(CH₂)_n- where] n is 2, 3, 4, or 5;

[R₂₁ is

-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-,

~~-CH₂-CH=CH-CH₂-CH₂,~~

~~-CH₂-CH₂-CH=CH-CH₂-,~~

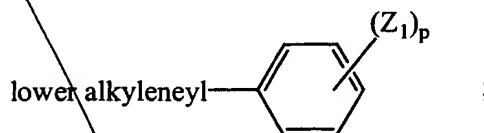
~~-CH₂-C \equiv C-CH₂-CH₂-, or~~

~~-CH₂-CH₂-C \equiv C-CH₂,~~

~~the -CH=CH- bond being cis or trans;~~

~~R₂₂ is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₁ are substituted~~

~~by at least on C₁-C₆ linear alkyl group, phenyl group, or~~



~~where Z₁ is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen, and p is~~

~~as previously defined.]~~

~~R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine,~~

~~bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio,~~

~~trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,~~

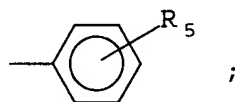
~~aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,]~~

~~-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, or~~

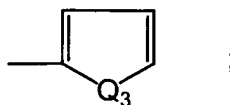
~~-CH(OR₇)-alkyl[,]; [-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;]~~

~~alkyl is lower alkyl;~~

~~aryl is phenyl or~~



where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy; heteroaryl is



Q₃ is -O-, -S-, -NH-, or -CH=N-;

[W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or [(C₂-C₁₁) alkanoyl] acyl;

[R₈ is lower alkyl;

R₉ is hydroxy, alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above;] and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃

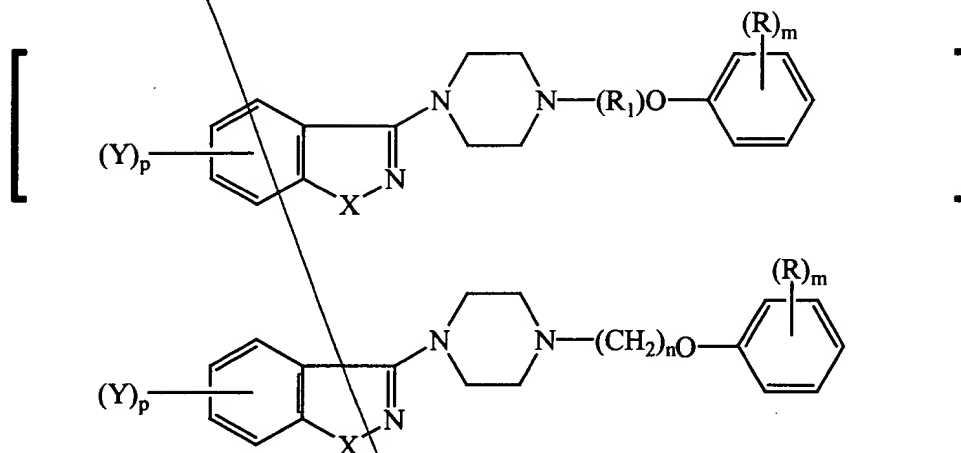
where R₂₃ is C₁-C₄ alkyl;

with the exclusion of compounds wherein X is -S-, [R₁ is R₂₀,] R is H, and m=1;

[all geometric, optical, and stereoisomers thereof,] or a pharmaceutically acceptable acid

addition salt thereof.

33. (Twice Amended) An analgesic composition, which comprises a compound of the formula:



wherein

X is -O-, -S-, -NH-, or -N(R₂);

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-C₁₀)cycloalkyl, aroyl, (C₂-C₁₁)alkanoyl, and phenylsulfonyl groups;

where aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy when p is 2 and X is -O-;

[R₁ is R₂₀, R₂₁ or R₂₂, wherein:

~~R₂₀ is -(CH₂)_n- where n is 2, 3, 4, or 5;~~

~~[R₂₁ is~~

~~-CH₂-CH=CH-CH₂-,~~

~~-CH₂-C≡C-CH₂-,~~

~~-CH₂-CH=CH-CH₂-CH₂-,~~

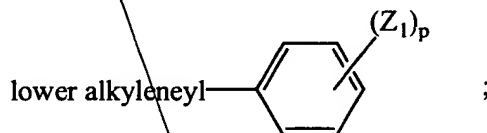
~~-CH₂-CH₂-CH=CH-CH₂-,~~

~~-CH₂-C≡C-CH₂-CH₂-, or~~

~~-CH₂-CH₂-C≡C-CH₂-,~~

~~the -CH=CH- bond being cis or trans;~~

~~R₂₂ is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₁ are substituted
by at least on C₁-C₆ linear alkyl group, phenyl group, or~~

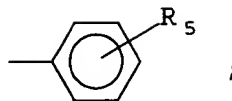


~~where Z₁ is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen, and p is
as previously defined;]~~

~~R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine,
bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio,
trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,
aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,]
-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, or
-CH(OR₇)-alkyl[,]; [-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;]~~

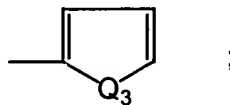
alkyl is lower alkyl;

aryl is phenyl or



where R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



Q_3 is -O-, -S-, -NH-, or -CH=N-;

[W is CH_2 or CHR_8 or $N-R_9$];

R_7 is hydrogen, lower alkyl, or [(C_2-C_{11}) alkanoyl] acyl;

[R_8 is lower alkyl;

R_9 is hydroxy, alkoxy, or -NHR₁₀; and

R_{10} is hydrogen, lower alkyl, C_1-C_3 acyl, aryl,

-C(=O)-aryl or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above;] and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

C_1-C_4 alkyl, chlorine, fluorine, bromine, iodine, cyano, C_1-C_4 alkoxy, or -COOR₂₃

where R_{23} is C_1 - C_4 alkyl;

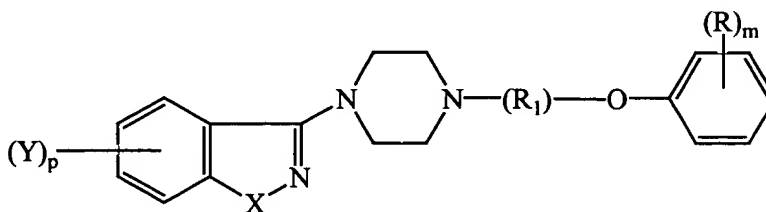
with the exclusion of compounds wherein X is -S-, [R_1 is R_{20} ,] R is H, and $m=1$;

[all geometric, optical, and stereoisomers thereof,] or a pharmaceutically acceptable acid addition salt thereof, in an amount sufficient to produce a pain-relieving effect, and a pharmaceutically acceptable carrier therefor.

34. (Amended) A method of alleviating pain, which comprises administering to a mammal a pain-relieving effective amount of a [compound] composition as claimed in claim 33.

Please replace claims 46, 54, 66, 74, 86-89, 92, 93, 96, and 104, all added by Preliminary Amendment dated November 9, 2000, with the following:

46. A compound of the formula



wherein

X is -O-, -S-, -NH-, or -N(R_2);

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3 -

C₁₀)cycloalkyl, aroyl, (C₂-C₁₁)alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy,

trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R₁) is

-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-,

-CH₂-CH=CH-CH₂-CH₂-,

-CH₂-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-CH₂-, or

-CH₂-CH₂-C≡C-CH₂-,

the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine,

bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl

thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

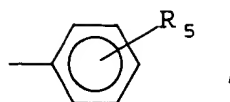
aminocarbonyl, dialkylaminocarbonyl, formyl,

-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl,

-CH(OR₇)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

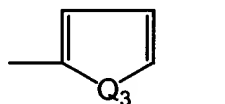
where alkyl is lower alkyl;

aryl is phenyl or



where R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, trifluoromethoxy;

heteroaryl is



where Q_3 is -O-, -S-, -NH-, or -CH=N-;

W is CH_2 or CHR_8 or $N-R_9$;

R_7 is hydrogen, lower alkyl, or acyl;

R_8 is lower alkyl;

R_9 is hydroxy, lower alkoxy, or -NHR₁₀; and

R_{10} is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

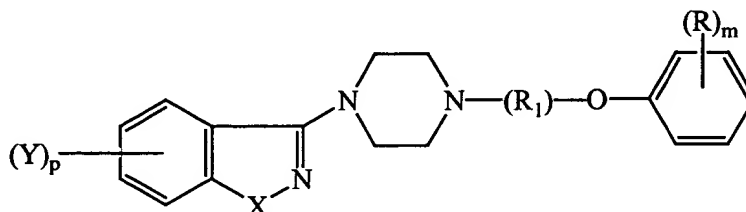
B5
C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃

where R₂₃ is C₁-C₄ alkyl;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid
addition salt thereof.

B6
54. A compound as claimed in claim 46, wherein X is -O-, -S-, or -NH-; Y is H, Cl, F,
-CF₃; R is selected from the group consisting of hydrogen, C₁-C₃ alkyl, C₁-C₃ alkoxy, -OH, Cl,
F, Br, I, C₁-C₃ monoalkylamino, acylamino, -NO₂-, -OCF₃, -CF₃.

B7
66. A compound of the formula



wherein

X is -O-, -S-, -NH-, or -N(R₂);

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-

C₁₀)cycloalkyl, aroyl, (C₂-C₁₁)alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

67
p is 1 or 2;

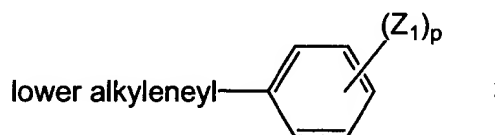
Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy,

trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R₁) is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₁ are substituted by at

least one C₁-C₆ linear alkyl group, phenyl group or



where Z₁ is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen;

R₂₀ is -(CH₂)_n-, where n is 2, 3, 4 or 5;

R₂₁ is

-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-,

-CH₂-CH=CH-CH₂-CH₂-,

-CH₂-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-CH₂-, or

-CH₂-CH₂-C≡C-CH₂-,

the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine,

iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio,

trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

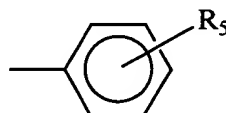
aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,

-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl,

-CH(OR₇)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

where alkyl is lower alkyl;

aryl is phenyl or

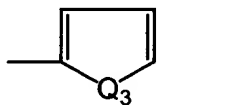


where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine,

iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl,

trifluoromethoxy;

heteroaryl is



where Q₃ is -O-, -S-, -NH-, or -CH=N-;

W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

B⁷
R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃

where R₂₃ is C₁-C₄ alkyl;

with the exclusion of compounds wherein X is -S-, R₁ is R₂₀, R is H, and m=1;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid

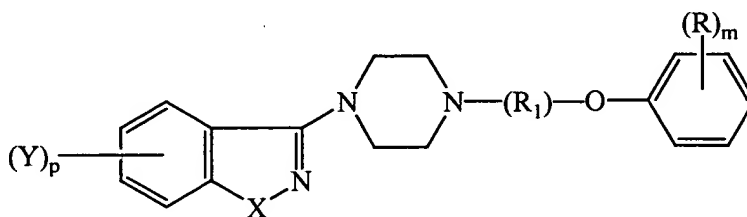
addition salt thereof.

B⁸
74. A compound as claimed in claim 66, wherein X is -O-, -S-, or -NH-; Y is H, Cl, F,

-CF₃; R is selected from the group consisting of hydrogen, C₁-C₃ alkyl, C₁-C₃ alkoxy, -OH, Cl,

F, Br, I, C₁-C₃ monoalkylamino, acylamino, -NO₂, -OCF₃, -CF₃; and n is 2, 3, or 4.

B⁸
86. A pharmaceutical composition, which comprises a compound of the formula



B9

wherein

X is -O-, -S-, -NH-, or -N(R₂);

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-

C₁₀)cycloalkyl, aroyl, (C₂-C₁₁)alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy,

trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R₁) is

-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-,

-CH₂-CH=CH-CH₂-CH₂-,

-CH₂-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-CH₂-, or

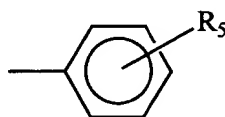
-CH₂-CH₂-C≡C-CH₂-,

the $-\text{CH}=\text{CH}-$ bond being cis or trans;

B9
R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, dialkylaminocarbonyl, formyl, $-\text{C}(=\text{O})$ -alkyl, $-\text{C}(=\text{O})$ -O-alkyl, $-\text{C}(=\text{O})$ -aryl, $-\text{C}(=\text{O})$ -heteroaryl, $-\text{CH}(\text{OR}_7)$ -alkyl, $-\text{C}(=\text{W})$ -alkyl, $-\text{C}(=\text{W})$ -aryl, or $-\text{C}(=\text{W})$ -heteroaryl;

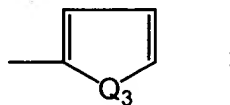
where alkyl is lower alkyl;

aryl is phenyl or



where R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, trifluoromethoxy;

heteroaryl is



where Q_3 is $-\text{O}-$, $-\text{S}-$, $-\text{NH}-$, or $-\text{CH}=\text{N}-$;

W is CH_2 or CHR_8 or $\text{N}-\text{R}_9$;

R₇ is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

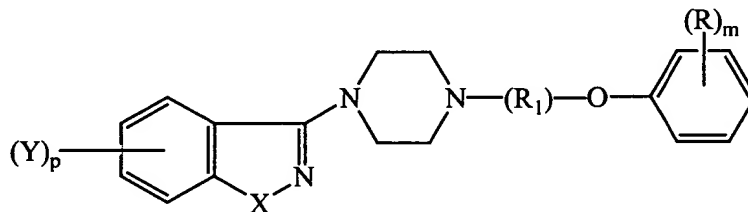
C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃

where R₂₃ is C₁-C₄ alkyl;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid

addition salt thereof, and a pharmaceutically acceptable carrier therefor.

87. A pharmaceutical composition, which comprises a compound of the formula



wherein

B9

X is -O-, -S-, -NH-, or -N(R₂);

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-

C₁₀)cycloalkyl, aroyl, (C₂-C₁₁)alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

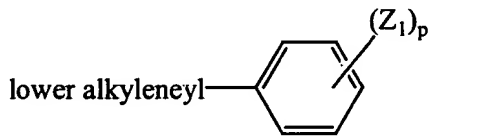
Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy,

trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R₁) is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₁ are substituted by at

least one C₁-C₆ linear alkyl group, phenyl group or



where Z₁ is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen;

R₂₀ is -(CH₂)_n-, where n is 2, 3, 4 or 5;

R₂₁ is

-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-,

-CH₂-CH=CH-CH₂-CH₂-,

-CH₂-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-CH₂-, or

-CH₂-CH₂-C≡C-CH₂-,

the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine,

iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio,

trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

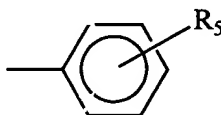
aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,

-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl,

-CH(OR₇)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

where alkyl is lower alkyl;

aryl is phenyl or

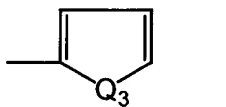


where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine,

iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl,

trifluoromethoxy;

heteroaryl is



where Q₃ is -O-, -S-, -NH-, or -CH=N-;

W is CH₂ or CHR₈ or N-R₉;

B⁹
R₇ is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃

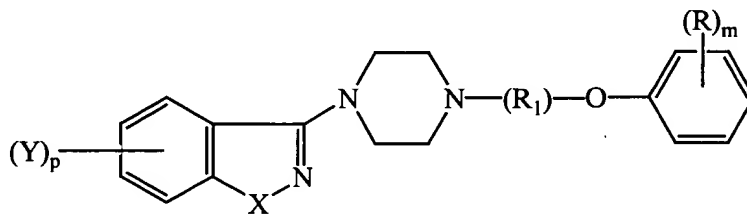
where R₂₃ is C₁-C₄ alkyl;

with the exclusion of compounds wherein X is -S-, R₁ is R₂₀, R is H, and m=1;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid

addition salt thereof, and a pharmaceutically acceptable carrier therefor.

88. An antipsychotic composition, which comprises a compound of the formula



wherein

B 9
X is $\overset{|}{-O-}$, $-S-$, $-NH-$, or $-N(R_2)$;

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-

C₁₀)cycloalkyl, aroyl, (C₂-C₁₁)alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy,
trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is $-O-$;

(R₁) is

$-CH_2-CH=CH-CH_2-$,

$-CH_2-C \equiv C-CH_2-$,

$-CH_2-CH=CH-CH_2-CH_2-$,

$-CH_2-CH_2-CH=CH-CH_2-$,

$-CH_2-C \equiv C-CH_2-CH_2-$, or

$-CH_2-CH_2-C \equiv C-CH_2-$,

the $-CH=CH-$ bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine,

bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl

thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

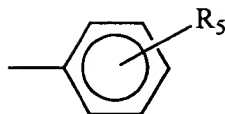
aminocarbonyl, dialkylaminocarbonyl, formyl,

$-C(=O)$ -alkyl, $-C(=O)$ -O-alkyl, $-C(=O)$ -aryl, $-C(=O)$ -heteroaryl,

$-CH(OR_7)$ -alkyl, $-C(=W)$ -alkyl, $-C(=W)$ -aryl, or $-C(=W)$ -heteroaryl;

where alkyl is lower alkyl;

aryl is phenyl or

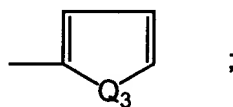


where R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine,

iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl,

trifluoromethoxy;

heteroaryl is



where Q_3 is -O-, -S-, -NH-, or -CH=N-;

W is CH_2 or CHR_8 or $N-R_9$;

R_7 is hydrogen, lower alkyl, or acyl;

R_8 is lower alkyl;

R_9 is hydroxy, lower alkoxy, or -NHR₁₀; and

R_{10} is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃

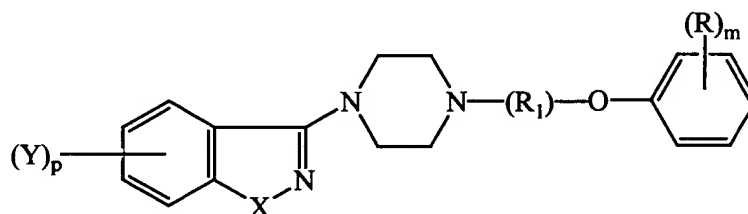
where R₂₃ is C₁-C₄ alkyl;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid

addition salt thereof, in an amount sufficient to produce an antipsychotic effect,

and a pharmaceutically acceptable carrier therefor.

89. An antipsychotic composition, which comprises a compound of the formula



wherein

X is -O-, -S-, -NH-, or -N(R₂);

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-

C₁₀)cycloalkyl, aroyl, (C₂-C₁₁)alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

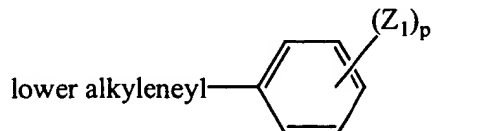
p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy,

trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R₁) is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₁ are substituted by at least one C₁-C₆ linear alkyl group, phenyl group or



where Z₁ is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen;

R₂₀ is -(CH₂)_n-, where n is 2, 3, 4 or 5;

R₂₁ is

-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-,

-CH₂-CH=CH-CH₂-CH₂-,

-CH₂-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-CH₂-, or

-CH₂-CH₂-C≡C-CH₂-,

the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine,

iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio,

trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

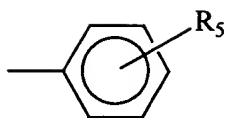
aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,

-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl,

-CH(OR₇)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

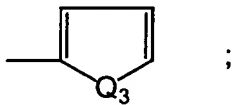
where alkyl is lower alkyl;

aryl is phenyl or



where R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, trifluoromethoxy;

heteroaryl is



where Q_3 is -O-, -S-, -NH-, or -CH=N-;

W is CH_2 or CHR_8 or $N-R_9$;

R_7 is hydrogen, lower alkyl, or acyl;

R_8 is lower alkyl;

R_9 is hydroxy, lower alkoxy, or -NHR₁₀; and

R_{10} is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃

where R₂₃ is C₁-C₄ alkyl;

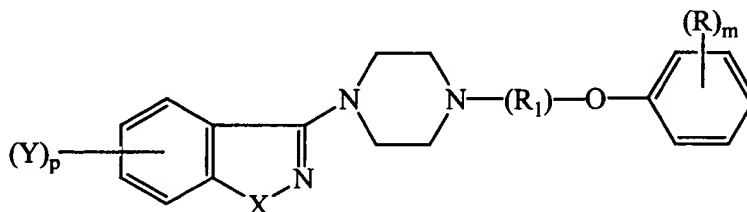
with the exclusion of compounds wherein X is -S-, R₁ is R₂₀, R is H, and m=1;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid

addition salt thereof, in an amount sufficient to produce an antipsychotic effect,

and a pharmaceutically acceptable carrier therefor.

92. An analgesic composition, which comprises a compound of the formula



wherein

X is -O-, -S-, -NH-, or -N(R₂);

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-

C₁₀)cycloalkyl, aroyl, (C₂-C₁₁)alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy,

trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R₁) is

-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-,

-CH₂-CH=CH-CH₂-CH₂-,

-CH₂-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-CH₂-, or

-CH₂-CH₂-C≡C-CH₂-,

the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine,

bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl

thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

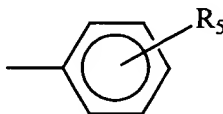
aminocarbonyl, dialkylaminocarbonyl, formyl,

-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl,

-CH(OR₇)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

where alkyl is lower alkyl;

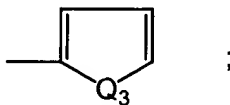
aryl is phenyl or



where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine,

iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl,
trifluoromethoxy;

heteroaryl is



where Q₃ is -O-, -S-, -NH-, or -CH=N-;

W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃

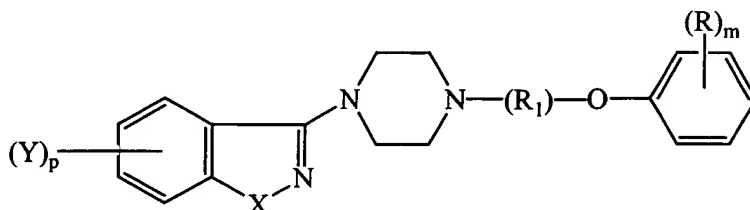
where R₂₃ is C₁-C₄ alkyl;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid

addition salt thereof, in an amount sufficient to produce a pain-relieving effect,

and a pharmaceutically acceptable carrier therefor.

93. An analgesic composition, which comprises a compound of the formula



wherein

X is -O-, -S-, -NH-, or -N(R₂);

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-

C₁₀)cycloalkyl, aroyl, (C₂-C₁₁)alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

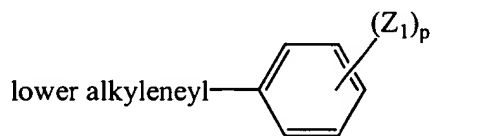
Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy,

trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R₁) is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₁ are substituted by at

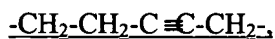
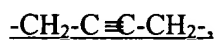
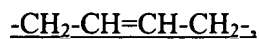
least one C₁-C₆ linear alkyl group, phenyl group or



where Z_1 is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen;

R_{20} is $-(CH_2)_n-$, where n is 2, 3, 4 or 5;

R_{21} is



the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine,

iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio,

trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

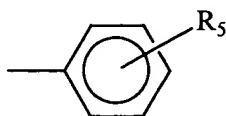
aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,

-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl,

-CH(OR₇)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

where alkyl is lower alkyl;

aryl is phenyl or

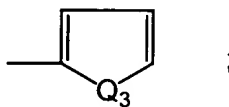


where R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine,

iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl,

trifluoromethoxy;

heteroaryl is



where Q₃ is -O-, -S-, -NH-, or -CH=N-;

W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃

where R₂₃ is C₁-C₄ alkyl;

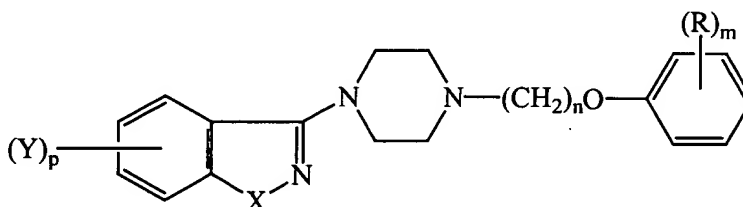
with the exclusion of compounds wherein X is -S-, R₁ is R₂₀, R is H, and m=1;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid

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addition salt thereof, in an amount sufficient to produce a pain-relieving effect,
and a pharmaceutically acceptable carrier therefor.

96. A compound of the formula



wherein

X is -O-, -S-, -NH-, or -N(R₂);

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-C₁₀)cycloalkyl, aroyl, (C₂-C₁₁)alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

n is 2, 3, 4 or 5;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

aminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl, -C(=O)-O-alkyl,

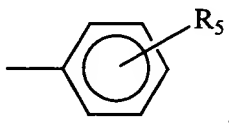
-C(=O)-aryl, -C(=O)-heteroaryl, -CH(OR₇)-alkyl, -C(=W)-alkyl,

-C(=W)-aryl, or -C(=W)-heteroaryl;

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alkyl is lower alkyl;

aryl is phenyl or

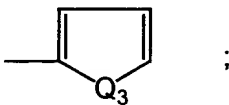


where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine,

iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano,

trifluoromethyl, or trifluoromethoxy;

heteroaryl is



Q₃ is -O-, -S-, -NH-, or -CH=N-;

W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the proviso that at least one R is selected from the group consisting of

dialkylaminocarbonyl, formyl, -C(=W)-alkyl, -C(=W)-aryl, and

-C(=W)-heteroaryl;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃

where R₂₃ is C₁-C₄ alkyl;

with the exclusion of compounds wherein X is -S-, R is H, and m=1;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid

addition salt thereof.

104. A compound as claimed in claim 96, wherein X is -O-, -S-, or -NH-; Y is H, Cl, F,

-CF₃; R is selected from the group consisting of hydrogen, C₁-C₃ alkyl, C₁-C₃ alkoxy, -OH, Cl,

F, Br, I, C₁-C₃ monoalkylamino, acylamino, -NO₂, -OCF₃, -CF₃; and n is 2, 3, or 4.

REMARKS

In this amendment, Patent Owner has amended claims 1, 9, 25-33, 46, 54, 66, 74, 86-89, 92, 93, 96, and 104, and cancelled claims 49 and 57. Claims 46-115 were added by Preliminary Amendment dated November 9, 2000. Thus, claims 1-48, 50-56, and 58-115 are pending.

The Examiner has indicated that claims 1-13 and 25-115 are rejected and that claims 14-